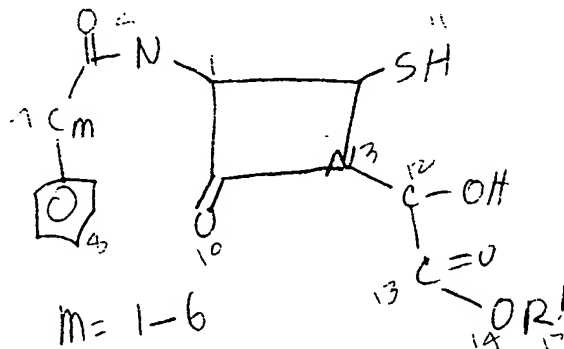


# SEARCH REQUEST FORM

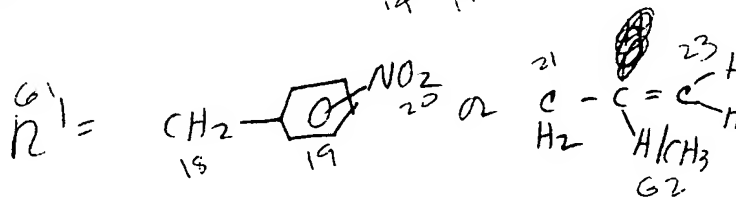
Requestor's Name: Bent Serial Number: 10/006579  
Date: 10/3 Phone: 410 578 Art Unit: 162  
W.D. HER

## Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



Point of Contact:  
Beverly Shears  
Technical Info. Specialist  
CM1 1E05 Tel: 308-4994



4612 Do not broaden search

C135

## STAFF USE ONLY

Date completed: 10-04-02  
Searcher: Beverly e 4994  
Terminal time: 12  
Elapsed time: \_\_\_\_\_  
CPU time: \_\_\_\_\_  
Total time: 24  
Number of Searches: \_\_\_\_\_  
Number of Databases: 1

Search Site  
\_\_\_\_ STIC  
\_\_\_\_ CM-1  
\_\_\_\_ Pre-S  
Type of Search  
\_\_\_\_ N.A. Sequence  
\_\_\_\_ A.A. Sequence  
\_\_\_\_ Structure  
\_\_\_\_ Bibliographic

Vendors  
\_\_\_\_ IG  
\_\_\_\_ ☒ STN  
\_\_\_\_ Dialog  
\_\_\_\_ APS  
\_\_\_\_ Geninfo  
\_\_\_\_ SDC  
\_\_\_\_ DARC/Questel  
\_\_\_\_ Other

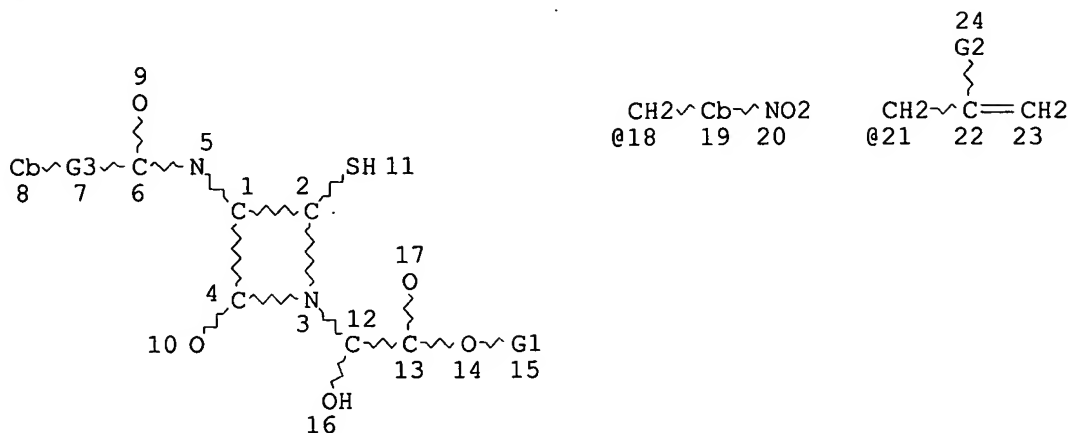
Berch  
10/006579

10/006579

FILE 'REGISTRY' ENTERED AT 15:38:11 ON 04 OCT 2002)

L7

STR



VAR G1=18/21  
VAR G2=H/CH3  
REP G3=(1-6) C  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 8  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED 165 ITERATIONS  
SEARCH TIME: 00.00.03

1 ANSWERS

FILE 'HCAPLUS' ENTERED AT 15:45:34 ON 04 OCT 2002

L10 I S L9

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449689 HCAPLUS.

DOCUMENT NUMBER: 137:33162

TITLE: Process for the preparation of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compounds via an intramolecular Wittig reaction

INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd; Zenoni, Maurizio; Fogliato, Giovanni; Donadelli, Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

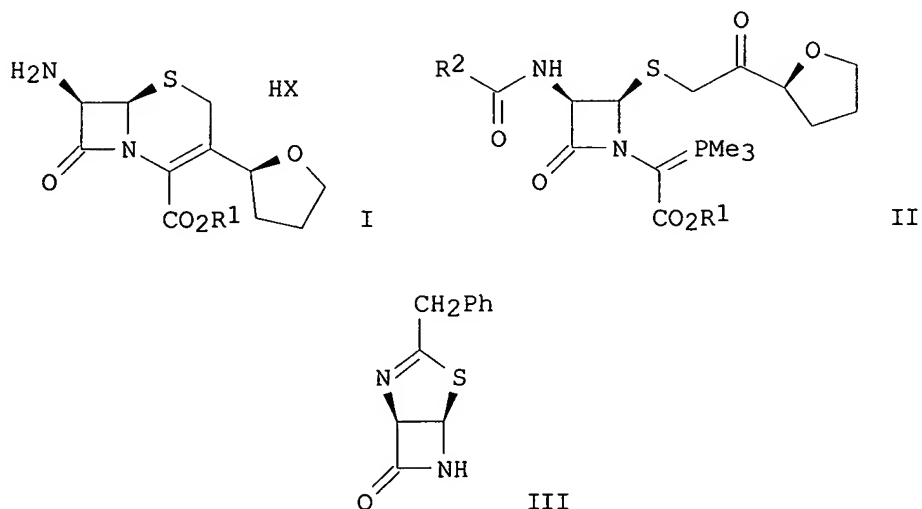
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Searcher : Shears 308-4994

10/006579

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023929	A5	20020618	AU 2002-23929	20011119
US 2002099205	A1	20020725	US 2001-6579	20011204
PRIORITY APPLN. INFO.:			US 2000-251018P	P 20001204
			WO 2001-IB2181	W 20011119
OTHER SOURCE(S):			CASREACT 137:33162; MARPAT 137:33162	
GI				



AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH<sub>4</sub>. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH<sub>2</sub>). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

IT **436800-39-4P**  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic)

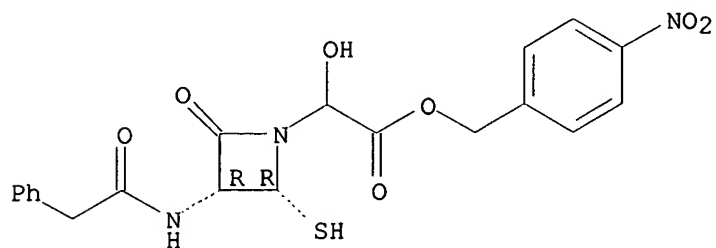
10/006579

preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

RN 436800-39-4 HCAPLUS

CN 1-Azetidineacetic acid, .alpha.-hydroxy-2-mercapto-4-oxo-3-  
[(phenylacetyl)amino]-, (4-nitrophenyl)methyl ester, (2R,3R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

~~FILE~~ 'CAOLD' ENTERED AT 15:46:12 ON 04 OCT 2002  
L11 0 S L9

~~FILE~~ 'USPATFULL' ENTERED AT 15:46:18 ON 04 OCT 2002  
L12 1 S L9

L12 ANSWER 1 OF 1 USPATFULL

ACCESSION NUMBER: 2002:186282 USPATFULL

TITLE: Process and ester derivatives useful for  
preparation of cephalosporins

INVENTOR(S): Colberg, Juan C., Norwich, CT, UNITED STATES  
Tucker, John L., Niantic, CT, UNITED STATES  
Zenoni, Maurizio, Milan, ITALY

Fogliato, Giovanni, Bergamo, ITALY  
Donadelli, Alessandro, Lodi, ITALY

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002099205	A1	20020725
APPLICATION INFO.:	US 2001-6579	A1	20011204 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-251018P	20001204 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	39	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1433	

Searcher : Shears 308-4994

10/006579

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

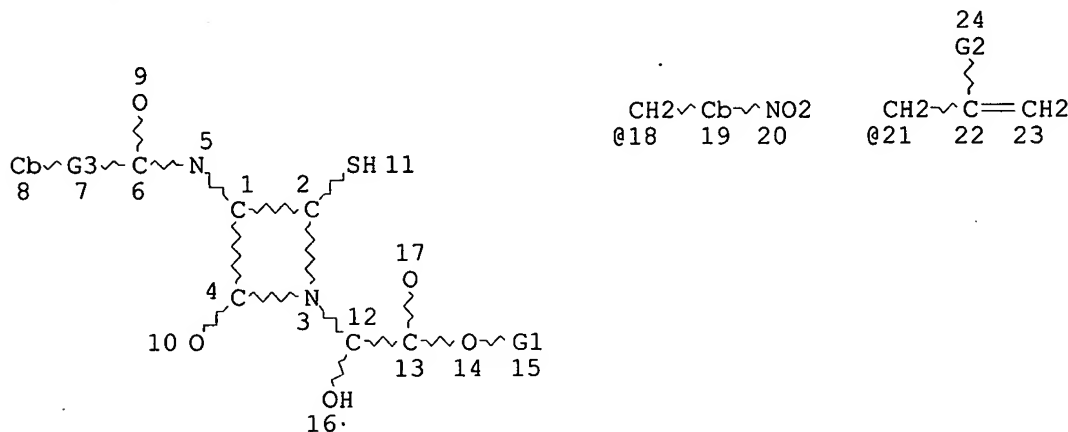
AB This invention relates a process for preparing a compound of formula (I) ##STR1##

wherein R.sup.1 is para-nitrobenzyl or allyl; and X is halo, which is useful to prepare 3-cyclic-ether-substituted cephalosporins, from trimethylphosphinic compounds. This invention also relates to compounds useful in such process.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

~~(FILE)~~ MARPAT' ENTERED AT 15:46:35 ON 04 OCT 2002)

L7 STR



VAR G1=18/21  
VAR G2=H/CH3  
REP G3=(1-6) C  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 8  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
MLEVEL IS CLASS ON RING NODES AND RING GROUPS  
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L14 ~~7~~ SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 26751 ITERATIONS ( 6 INCOMPLETE) 7 ANSWERS  
SEARCH TIME: 00.02.02

L14 ANSWER 1 OF 7 MARPAT COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 137:33162 MARPAT  
TITLE: Process for the preparation of p-nitrobenzyl or

Searcher : Shears 308-4994

10/006579

allyl esters of 3-cyclic-ether substituted  
cephalosporins from trimethylphosphinic  
compounds via an intramolecular Wittig reaction

INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd;  
Zenoni, Maurizio; Fogliato, Giovanni; Donadelli,  
Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 47 pp.  
CODEN: PIXXD2

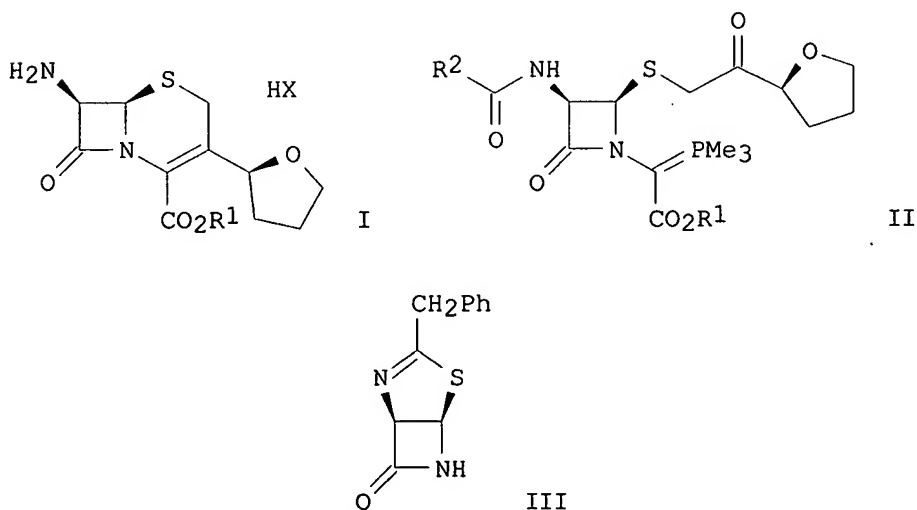
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023929	A5	20020618	AU 2002-23929	20011119
US 2002099205	A1	20020725	US 2001-6579	20011204
PRIORITY APPLN. INFO.:			US 2000-251018P	20001204
			WO 2001-IB2181	20011119
OTHER SOURCE(S):			CASREACT 137:33162	
GI				



AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo)

Searcher : Shears 308-4994

via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH4. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH2). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

- IC ICM C07D501-08  
ICS C07D501-18; C07D501-20; C07D405-12; C07F009-568; C07D205-095; C07D513-04; C07D513-04; C07D277-00; C07D205-00
- CC 26-5 (Biomolecules and Their Synthetic Analogs)
- ST cephalosporin lactam antibiotic cyclic ether substituted prepn; Wittig reaction intramol cyclic ether cephalosporin prepn
- IT Wittig reaction  
(intramol.; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT Lactams  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(.beta.-; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT Antibiotics  
(.beta.-lactam; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 676-96-0  
(prepn. of)
- IT 436100-73-1P 436100-74-2P 436100-75-3P 436100-76-4P  
436100-77-5P 436100-78-6P 436800-38-3P 436800-39-4P  
436800-40-7P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 436100-68-4P 436800-42-9P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-64-1, Acetone, uses 68-12-2, DMF, uses 71-23-8, Propanol, uses 75-09-2, Methylene chloride, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 79-37-8, Oxalyl chloride 594-09-2, Trimethylphosphine 619-73-8, 4-Nitrobenzylalcohol 34103-69-0 64370-42-9, Allyl glyoxylate 131328-27-3 141194-61-8 192049-49-3 436800-46-3 436801-05-7

10/006579

436801-06-8 436801-07-9 436801-08-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 81779-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 108-48-5, 2,6-Lutidine 109-02-4, N-Methylmorpholine 110-86-1,  
Pyridine, reactions 288-32-4, Imidazole, reactions 507-16-4,  
Thionyl bromide 7719-09-7, Thionyl chloride 7719-12-2,  
Phosphorus trichloride 7789-60-8, Phosphorus tribromide

RL: RGT (Reagent); RACT (Reactant or reagent)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L14 ANSWER 2 OF 7 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 136:257270 MARPAT

TITLE: Methods of decreasing or preventing pain using  
spicamycin derivatives

INVENTOR(S): Borsook, David

PATENT ASSIGNEE(S): The General Hospital Corporation, USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: .1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024146	A2	20020328	WO 2001-US29371	20010920
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2000-234382P 20000920

AB Methods of providing pain relief by administering a water-sol.  
deriv. of spicamycin. Methods of using pain mediation agents are  
also provided.

IC ICM A61K

CC 1-11 (Pharmacology)

ST pain spicamycin analgesia

IT Body, anatomical

Searcher : Shears 308-4994



10/006579

(back, pain; spicamycin derivs. for prevention and treatment of various pains)

IT Nerve, disease  
(diabetic neuropathy; spicamycin derivs. for prevention and treatment of various pains)

IT Drug delivery systems  
(implants; spicamycin derivs. for prevention and treatment of various pains)

IT Herpesviridae  
(infection, neuropathy; spicamycin derivs. for prevention and treatment of various pains)

IT Drug delivery systems  
(injections, i.v.; spicamycin derivs. for prevention and treatment of various pains)

IT Nerve, disease  
(neuralgia; spicamycin derivs. for prevention and treatment of various pains)

IT Pancreas, disease  
(neuropathy; spicamycin derivs. for prevention and treatment of various pains)

IT Pain  
(opioid-resistant; spicamycin derivs. for prevention and treatment of various pains)

IT Viscera  
(pain; spicamycin derivs. for prevention and treatment of various pains)

IT Drug delivery systems  
(slow-release; spicamycin derivs. for prevention and treatment of various pains)

IT Analgesics  
Human  
(spicamycin derivs. for prevention and treatment of various pains)

IT 87099-85-2, Spicamycin  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(spicamycin derivs. for prevention and treatment of various pains)

L14 ANSWER 3 OF 7 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 135:318706 MARPAT

TITLE: Preparation of halogenated 2-amino-5,6-heptenoic acid derivatives useful as nitric oxide synthase inhibitors

INVENTOR(S): Grapperhaus, Margaret L.; Sikorski, James A.; Awasthi, Alok K.; Wang, Lijuan J.; Pitzele, Barnett S.; Hansen, Donald W., Jr.; Manning, Pamela T.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

Searcher : Shears 308-4994

-----

WO 2001078719      A1      20011025      WO 2001-US12258      20010413

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002049202      A1      20020425      US 2001-835191      20010413

PRIORITY APPLN. INFO.:      US 2000-197032P      20000413

AB      Halogenated 2-amino-5,6-heptenoic acid derivs.  
R7N:CMENHCH2CR1:CR2CH2CH2CH(NH2)C(O)J [R1, R2 = H, halo, alkyl, haloalkyl (at least one of R1 or R2 contains halogen); R7 = H, OH; J = OH, alkoxy, NR3R4, where R3 = H, alkyl, alkenyl, alkynyl and R4 = H, (un)substituted heterocyclyl] were prepd. for use as nitric oxide synthase (NOS) inhibitors. Thus, (2S,5E)-2-amino-6-fluoro-7-[(1-iminoethyl)amino]-5-heptenoic acid dihydrochloride was prepd. by a multistep procedure starting from L-glutamic acid and showed IC50 values 0.36, 68, 3.6, and 0.1 .mu.M in hiNOS, hecNOS, hncNOS, and human cartilage assays, resp.

IC      ICM      A61K031-221  
ICS      A61K031-195; A61K031-41; C07C259-14; C07C229-30; C07D271-06; C07D257-04

CC      34-2 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 7

ST      acetimidoylaminoheptenoic acid aminohalo prepn inhibitor nitric oxide synthase; haloaminoheptenoic acid prepn inhibitor nitric oxide synthase; aminoheptenoic acid halo prepn inhibitor nitric oxide synthase; heptenoic acid haloamino prepn inhibitor nitric oxide synthase

IT      Alcoholism  
Anti-inflammatory agents  
Antiarthritics  
Antirheumatic agents  
Antitumor agents  
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)

IT      54-11-5, Nicotine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)

IT      367967-68-8P      367967-69-9P      367967-70-2P      367967-71-3P  
367967-72-4P      367967-73-5P      367967-74-6P      367967-75-7P  
367967-76-8P      367967-77-9P      367967-78-0P      367967-79-1P  
367967-80-4P      367967-81-5P      367967-82-6P      367967-83-7P  
367967-84-8P      367967-85-9P      367967-86-0P      367967-87-1P  
367967-88-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of halogenated aminoheptenoic acid derivs. useful as

10/006579

nitric oxide synthase inhibitors)  
IT 125978-95-2, Nitric oxide synthase  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
BIOL (Biological study); PROC (Process)  
(prepn. of halogenated aminoheptenoic acid derivs. useful as  
nitric oxide synthase inhibitors)  
IT 367968-17-0P  
RL: BYP (Byproduct); PREP (Preparation)  
(prepn. of halogenated aminoheptenoic acid derivs. useful as  
nitric oxide synthase inhibitors)  
IT 56-86-0, L-Glutamic acid, reactions 77-76-9, 2,2-Dimethoxy propane  
401-56-9, Ethyl chlorofluoroacetate 696-63-9, p-  
Methoxybenzenethiol 1000-84-6, Ethyl acetimidate 1074-82-4,  
Potassium phthalimide 1499-55-4, L-Glutamic acid 5-methyl ester  
2356-16-3 4418-61-5, 5-Aminotetrazole 52386-40-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of halogenated aminoheptenoic acid derivs. useful as  
nitric oxide synthase inhibitors)  
IT 45214-91-3P 59279-60-6P 126587-35-7P 129599-92-4P  
129600-92-6P 136904-77-3P 144090-56-2P 192314-71-9P  
206128-03-2P 367967-89-3P 367967-90-6P 367967-91-7P  
367967-92-8P 367967-93-9P 367967-94-0P 367967-95-1P  
367967-96-2P 367967-97-3P 367967-98-4P 367967-99-5P  
367968-00-1P 367968-01-2P 367968-02-3P 367968-03-4P  
367968-04-5P 367968-05-6P 367968-06-7P 367968-07-8P  
367968-08-9P 367968-09-0P 367968-10-3P 367968-11-4P  
367968-12-5P 367968-13-6P 367968-14-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. of halogenated aminoheptenoic acid derivs. useful as  
nitric oxide synthase inhibitors)  
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L14 ANSWER 4 OF 7 MARPAT COPYRIGHT 2002 ACS  
(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 134:366693 MARPAT  
TITLE: Preparation of bis(aminoalkyl- or  
amidinophenoxy)arylene- and heteroatom-  
interrupted alkanes and analogs as tryptase  
inhibitors  
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Hamm,  
Rainer; Disse, Bernd; Jennewein, Hans Michael;  
Speck, Georg  
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
SOURCE: Ger. Offen., 36 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19955476	A1	20010523	DE 1999-19955476	19991118
WO 2001036374	A2	20010525	WO 2000-EP11216	20001114
WO 2001036374	A3	20020411		

Searcher : Shears 308-4994

10/006579

W: AE, AU, BG, BR, CA, CN, CZ, EE, HU, ID, IL, IN, JP, KR, LT,  
LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, UZ, VN, YU, ZA,  
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC,  
NL, PT, SE, TR

PRIORITY APPLN. INFO.:

DE 1999-19955476 19991118

AB B1Z1X1Z2X2Z3X3Z4Z4B2 [I; B1,B2 = C(:NR1)NHR1', CH2NH2, CH2CH2NH2,  
ureido; R1,R1' = OH, COR2, CO2R2; R2 = H, alkyl, aryl(alkyl); X1-X4  
= bond, CH2, CH2CH2, CH2O, CH2NH, etc.; Z = (heteroatom-  
interrupted)alkylene, G1(CH2)rG2 [X2 or X3 = (CH2)1-2], E1(CH2)rE2,  
etc.; E1,E2 = azacycloalkylene; G1,G1 = bond or cycloalkylene; Z1-Z4  
= (un)substituted (hetero)arylene; r = 0-6] were prepd. Thus,  
3-(ClH2C)C6H4CH2OC6H4(CH2CH2NHBoc)-4 was condensed with  
(CH2CMe2NH2)2 to give, after deprotection, the N,N'-bisbenzylated  
hexandiamine.4HCl. Data for biol. activity of I were given.

IC ICM C07C217-58

ICS C07C217-60; C07C213-02; C07D211-26; C07D295-12; C07C257-18;  
C07C259-10; C07C271-62; C12N009-99; A61K031-155

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1

ST azaarylenealkane bisaminophenoxy bisamidinophenoxy prepn tryptase  
inhibitor; antiinflammatory azaarylenealkane bisaminophenoxy  
bisamidinophenoxy prepn; antiallergic azaarylenealkane  
bisaminophenoxy bisamidinophenoxy prepn

IT Allergy inhibitors

Anti-inflammatory agents

(prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and  
heteroatom-interrupted alkanes and analogs as tryptase  
inhibitors)

IT 97501-93-4, Tryptase

RL: BPR (Biological process); BSU (Biological study, unclassified);  
BIOL (Biological study); PROC (Process)

(mediated disorders; treatment; prepn. of bis(aminoalkyl- or  
amidinophenoxy)arylene- and heteroatom-interrupted alkanes and  
analog as tryptase inhibitors)

IT 340284-41-5P 340284-43-7P 340284-44-8P 340284-45-9P  
340284-46-0P 340284-48-2P 340284-49-3P 340284-50-6P  
340284-51-7P 340284-52-8P 340284-53-9P 340284-54-0P  
340284-55-1P 340284-56-2P 340284-57-3P 340284-58-4P  
340284-59-5P 340284-60-8P 340284-61-9P 340284-62-0P  
340284-63-1P 340284-64-2P 340284-65-3P 340284-66-4P  
340284-67-5P 340284-68-6P 340284-69-7P 340284-70-0P  
340284-71-1P 340284-72-2P 340284-73-3P 340284-74-4P  
340284-75-5P 340284-76-6P 340284-77-7P 340284-78-8P  
340284-79-9P 340284-80-2P 340284-81-3P 340284-82-4P  
340284-83-5P 340284-84-6P 340284-85-7P 340284-86-8P  
340284-90-4P 340284-91-5P 340284-92-6P 340284-93-7P  
340284-94-8P 340284-95-9P 340284-96-0P 340284-97-1P  
340284-98-2P 340284-99-3P 340285-00-9P 340285-01-0P  
340285-02-1P 340285-03-2P 340285-04-3P 340285-05-4P  
340285-06-5P 340285-07-6P 340285-09-8P 340285-10-1P  
340285-11-2P 340285-12-3P 340285-13-4P 340285-14-5P  
340285-15-6P 340285-16-7P

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and

heteroatom-interrupted alkanes and analogs as tryptase inhibitors)

IT 23578-35-0, 2,5-Diamino-2,5-dimethylhexane 255915-70-9  
 340284-87-9 340284-88-0 340284-89-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and  
 heteroatom-interrupted alkanes and analogs as tryptase  
 inhibitors)

L14 ANSWER 5 OF 7 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 131:5098 MARPAT  
 TITLE: Acylation of aromatic compounds  
 INVENTOR(S): Baudry, Barbier Denise; Dormond, Alain; Richard,  
 Stephanie; Desmurs, Jean Roger  
 PATENT ASSIGNEE(S): Rhodia Chimie, Fr.  
 SOURCE: Fr. Demande, 38 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	FR 2768729	A1	19990326	FR 1997-11701	19970919
OTHER SOURCE(S):	CASREACT 131:5098				
AB	The title process takes place in the presence of, e.g., a rare earth halide. Thus, benzylation of anisole gave 90.3% 4-(MeO)C <sub>6</sub> H <sub>4</sub> COPh in the presence of NdCl <sub>3</sub> .dioxane.				
IC	ICM C07C049-76				
	ICS C07C045-45; B01J027-125; B01J031-22				
ICI	B01J031-22, B01J103-26				
CC	25-16 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)				
ST	acylation arom rare earth catalyst; benzophenone prepn				
IT	Ketones, preparation				
	RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)				
	(arom.; acylation of arom. compds.)				
IT	Acylation catalysts				
	Acylation catalysts				
	(benzylation catalysts; acylation of arom. compds.)				
IT	Benzylation				
	Benzylation				
	(catalysts; acylation of arom. compds.)				
IT	611-94-9P, p-Methoxybenzophenone 5672-94-6P, 1-Acetyl-2-methoxynaphthalene 5703-21-9P, 4-Acetylveratrole				
	RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)				
	(acylation of arom. compds.)				
IT	91-16-7, Veratrole 93-04-9, 2-Methoxynaphthalene 98-88-4, Benzoyl chloride 100-66-3, Anisole, reactions				
	RL: RCT (Reactant); RACT (Reactant or reagent)				
	(acylation of arom. compds.)				
IT	10024-93-8, Neodymium trichloride 10361-92-9, Yttrium trichloride				
	RL: CAT (Catalyst use); USES (Uses)				
	(catalyst for acylation of arom. compds.)				

L14 ANSWER 6 OF 7 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 131:5097 MARPAT  
 TITLE: Acylation of aromatic compounds  
 INVENTOR(S): Baudry, Barbier Denise; Dormond, Alain; Richard, Stephanie; Bouazza, Aicha; Desmurs, Jean Roger  
 PATENT ASSIGNEE(S): Rhodia Chimie, Fr.  
 SOURCE: Fr. Demande, 28 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2768728	A1	19990326	FR 1997-11700	19970919
FR 2768728	B1	19991203		

OTHER SOURCE(S): CASREACT 131:5097

AB The title process takes place in the presence of a U or uranyl halide. Thus, benzylation of anisole gave 93% 4-(MeO)C<sub>6</sub>H<sub>4</sub>COPh after 1h reflux in the presence of a catalyst prepd. from U3O8 and HCl.

IC ICM C07C049-76  
 ICS C07C049-786; C07C049-84; B01J027-08

ICI B01J027-08, B01J103-28

CC 25-16 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

ST acylation arom uranium catalyst; benzophenone prepn

IT Ketones, preparation  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (arom.; acylation of arom. compds.)

IT Acylation catalysts  
 Acylation catalysts  
 (benzylation catalysts; acylation of arom. compds.)

IT Benzylation  
 Benzylation  
 (catalysts; acylation of arom. compds.)

IT 134-84-9P 611-94-9P 954-16-5P 4044-60-4P 4885-14-7P  
 6317-73-3P 26086-67-9P 40777-50-2P 225780-54-1P 225780-55-2P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (acylation of arom. compds.)

IT 95-93-2, Durene 98-88-4, Benzoyl chloride 100-20-9,  
 1,4-Benzenedicarbonyl dichloride 100-66-3, Anisole, reactions  
 101-84-8, Diphenyl oxide 106-42-3, p-Xylene, reactions 108-67-8,  
 Mesitylene, reactions 108-88-3, Toluene, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation of arom. compds.)

L14 ANSWER 7 OF 7 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

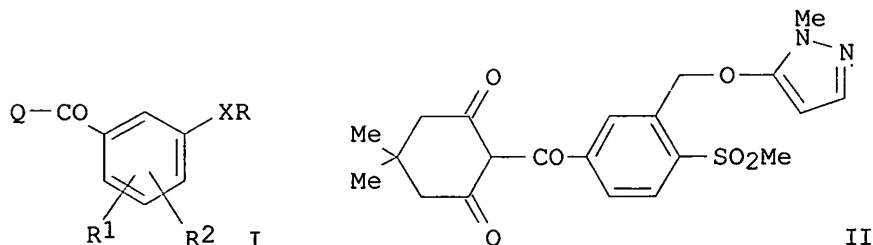
ACCESSION NUMBER: 130:196650 MARPAT  
 TITLE: 2-Benzoylcyclohexane-1,3-diones as herbicides  
 INVENTOR(S): Engel, Stefan; Rheinheimer, Joachim; Baumann, Ernst; Von Deyn, Wolfgang; Hill, Regina Luise; Mayer, Guido; Misslitz, Ulf; Wagner, Oliver; Witschel, Matthias; Otten, Martina; Walter,

10/006579

PATENT ASSIGNEE(S): Helmut; Westphalen, Karl-otto; et al.  
 SOURCE: BASF Aktiengesellschaft, Germany  
 PCT Int. Appl., 81 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910327	A1	19990304	WO 1998-EP4634	19980805
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9890684	A1	19990316	AU 1998-90684	19980805
EP 1001938	A1	20000524	EP 1998-942611	19980805
R: CH, DE, FR, GB, LI				
JP 2001514171	T2	20010911	JP 2000-507656	19980805
ZA 9807057	A	20000207	ZA 1998-7057	19980806
US 6432881	B1	20020813	US 2000-485231	20000207
PRIORITY APPLN. INFO.:			DE 1997-19734164	19970807
			WO 1998-EP4634	19980805

GI



AB The 2-benzoylcyclohexane-1,3-diones I [Q = (un)substituted 1,3-dioxo-2-cyclohexyl; X = nalkylene, oxaalkylene, thiaalkylene; R = heterocyclic; R1, R2 = H, NO<sub>2</sub>, halogen, CN, SCN, (un)substituted alkyl, OH, SH, SO<sub>3</sub>H, SO<sub>2</sub>NH<sub>2</sub>, NHSO<sub>2</sub>H, acylamino] were prepd. for use as herbicides (no data). Thus, Me 2-chloro-3-methyl-4-methylsulfonylbenzoate was treated with 1-methyl-5-pyrazolol, hydrolyzed to the acid and treated with dimedone to give the benzoylpyrazole II.

IC ICM C07D231-12

ICS C07D231-14; A01N043-56

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 5

ST benzoylcyclohexanedione prepn herbicide;  
 pyrazolylbenzoylcyclohexanedione prepn herbicide;  
 pyridylbenzoylcyclohexanedione prepn herbicide

IT Herbicides

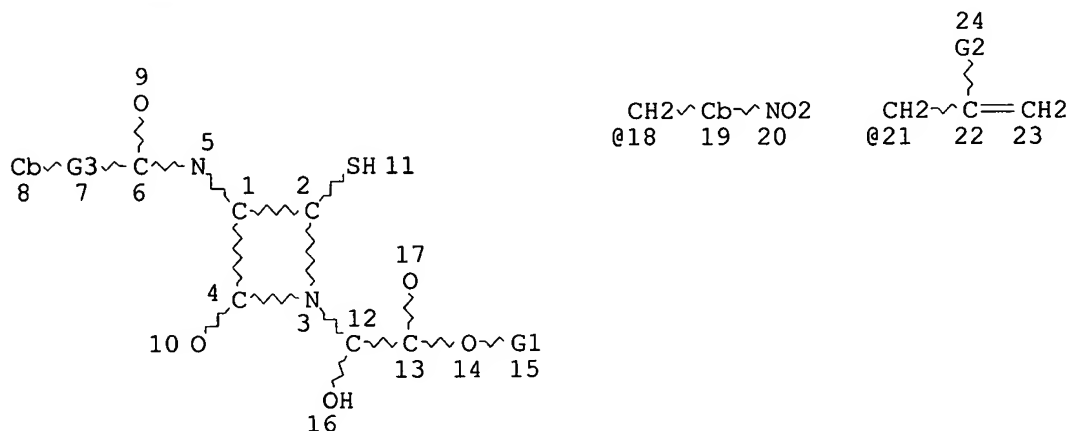
(prepn. of benzoylcyclohexanediones as herbicides)

IT 220798-99-2P 220799-06-4P 220799-10-0P 220799-15-5P

10/006579

220799-18-8P 220799-24-6P 220799-29-1P 220799-33-7P  
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation); USES (Uses)  
(prepn. of benzoylcyclohexanediones as herbicides)  
IT 126-81-8, Dimedone 33641-15-5, 5-Hydroxy-1-methylpyrazole  
120100-04-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of benzoylcyclohexanediones as herbicides)  
IT 120100-44-9P 220798-89-0P 220798-93-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. of benzoylcyclohexanediones as herbicides)  
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L7 ~~FILE=~~MARPATPREV' ENTERED AT 15:49:55 ON 04 OCT 2002  
STR



VAR G1=18/21  
VAR G2=H/CH3  
REP G3=(1-6) C  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 8  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
MLEVEL IS CLASS ON RING NODES AND RING GROUPS  
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS.  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

~~FILE=~~15. SEA FILE=MARPATPREV SSS FUL L7 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 127 ITERATIONS

0 ANSWERS

Searcher : Shears 308-4994



10/006579

SEARCH TIME: 00.00.06

=> fil hom

FILE 'HOME' ENTERED AT 15:50:21 ON 04 OCT 2002

Searcher : Shears 308-4994